

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
11 November 2004 (11.11.2004)

PCT

(10) International Publication Number
WO 2004/096130 A3

- (51) International Patent Classification⁷: **A61K 31/44**, C07D 471/14, 471/16
- (21) International Application Number: PCT/US2004/012187
- (22) International Filing Date: 20 April 2004 (20.04.2004)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data: 60/465,123 24 April 2003 (24.04.2003) US
- (71) Applicant (for all designated States except US): **MERCK & CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **BILODEAU, Mark, T.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **WU, Zhicai** [CN/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (74) Common Representative: **MERCK & CO., INC.**; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:
— with international search report
- (88) Date of publication of the international search report: 7 April 2005
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: INHIBITORS OF AKT ACTIVITY

(57) Abstract: The present invention is directed to compounds which contain a five-membered heterocyclic ring fused to a substituted pyridine moiety which inhibit the activity of Akt, a serine/threonine protein kinase. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for treating cancer comprising administration of the compounds of the invention.

WO 2004/096130 A3

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US04/12187

A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : A61K 31/44; C07D 471/14, 471/16

US CL : 514/303; 546/118, 119

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 514/303; 546/118, 119

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
Please See Continuation Sheet

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A, P	WO 2004/024728 A2 (GLAXO GROUP LTD.) 25 March 2004. See entire document.	1-18
A	Database CAPLUS on STN (Columbus, OH, USA) No. 140:156741. KUNICK et al. 'Evaluation and comparison of 3D-QSAR CoMSIA models for CDK1, CDK5 and GSK3 inhibition by Paulonics' J. Medicinal Chemistry, 2004, 47(1), 22-36, abstract.	9-18
A	Database CAPLUS on STN (Columbus, OH, USA) No. 125:167896, ZIMMERMANN et al. 'Phenylamino-pyrimidine PAF derivatives: a new class of potent and highly selective PDGFR-receptor autophosphorylation inhibitors' Bioorganic & Medicinal Chemistry, June 2004, 26(11), 1221-1226, abstract.	9-18

☐ Further documents are listed in the continuation of Box C.

See patent family annex.

Special categories of cited documents:

- "A" documents defining the general state of the art which is not considered to be of particular relevance
- "E" earlier application or patent published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

"T"

later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X"

document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y"

document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&"

document member of the same patent family

Date of the actual completion of the international search

22 November 2004 (22.11.2004)

Date of mailing of the international search report

01 DEC 2004

Name and mailing address of the ISA/US

Mail Stop PCT, Attn: ISA/US
Commissioner for Patents
P.O. Box 1450
Alexandria, Virginia 22313-1450

Facsimile No. (703) 305-3230

Authorized officer

Celia Chang

Telephone No. 571-272-1600

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US04/12187

Continuation of B. FIELDS SEARCHED Item 3:
CAS-structure
East/West